CLASSIFICATION CENTRAL INTELLIGENCE AGENCY

INFORMATION REPORT

CD NO.

COUNTRY East Germany

DATE DISTR. 15 January 1953

SUBJECT Falicain, a New East German Surface and Block Anesthetic

NO. OF PAGES 4

PLACE ACQUIRED 25X1

NO. OF ENCLS. (LISTED BELOW)

DATE OF INFO.

SUPPLEMENT TO REPORT NO.

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THIS IS UNEVALUATED INFORMATION

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1. Dr. phil. Elmar Profft[2], of Magdeburg, addressed the Scheele Society (The Mecklenburg Pharmaceutical Scientific Association) at its spring meeting held at the Rostock University Chemical Institute in Rostock on the 10th and 11th of May, 1952. Dr. Profft spoke on FALICAIN, a new anesthetic synthesized by him. The substance of his paper follows:

The Chemistry and Pharmacology of the New Anesthetic and Therapeutic Agent FALICAIN

In the search for a substitute for cocaine, many anesthetics have been developed, e.g. Tropacocain, Psicain, Ekkain, Stovain, Alypin, Larocain, Pantocain, Tutocain, Panthesin, Eucain A and B, Xylocain, Novocain (sic), Intracain, Surfacain, and Oxycain.

The conditions which an anesthetic must fulfill are-

(1) Toxicity less than cocaine

(2) No stimulating action

(3) Solubility in water, and sterilizability

(4) Compatability with adrenalin

(5) Rapid absorption

It is further desirable that the agent possess prolonged activity, good subjective tolerance, no injurious side-effects on the kidneys, circulatory system or metabolism. Products prepared up to this time do not fulfill all these conditions.

The author (Dr. Profft) encountered a substance with anesthetic properties, while working with 1-alkoxy, 2-amino, 4-nitrobenzene sweetening agents. A Hollander had already established that 1-propoxy derivatives had anesthetic activity. Thereupon, the author, by employing the Mannich-synthesis, prepared FALICAIN, which is beta-piperidino, ethyl 4-propoxyphenylketone hydrochloride 3/

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This caused a strong surface and block anesthesia without any stimulating action. The effect is about ten times as strong as that of cocain and novocain. The synthesis consists of a condensation between 4-propoxyacetophenone, formaldehyde and piperidine. In testing homologues of this preparation it was established that the butyl and amyl derivatives produce a stronger anesthetic effect. Activity decreases upon further lengthening of the chain. The hydrochloride of FALICAIN has a neutral reaction in water and is quite soluble in 45% alcohol. The solution is not sterilizable for decomposition occurs upon heating. Since FALICAIN has a strong bactericidal action even in a 0.1 % solution, aseptic care in its preparation plus filtration through a bacterial filter would be adequate. Pharmacological testing of FALICAIN was carried out at the Pharmacological Institutes in Halle and Rostock. The preparation can be used as a mucous membrane , local , and block anesthetic in preference to previously known agents. It is, when used at active concentrations less toxic than cocaine, and it works faster and longer than novocain.

2. At the 22 June 1952 meeting of the Sachsen-Anhalt Medical Scientific Society for Theoretical Medicine and Related Fields which took place at the Anatomy Institute of the University of Halle, a symposium was held which reported on the pharmacological action of FALICAIN as compared with other anesthetics. The substance of the reports delivered at this latter meeting follows:

a. Dr. Hannig of the University of Halle Pharmacological Institute, compared FALICAIN with pantocain, cocaine, and novocain. As regards surface anesthesia, FALICAIN was ten times more effective and only twice as toxic as cocaine; it was 30% more effective than pantocain, which was 20 % more toxic than the FALICAIN. In regional anesthesia, FALICAIN has no great advantage over novocain, i.e. its greater anesthetic effect is countered by its greater toxicity. In infiltration anesthesia, FALICAIN is superior to pantocain. In intravenous anesthesia FALICAIN is equal to pantocain. FALICAIN is distinctly bactericidal even in dilution of 0.1%. Pantocain possesses a relatively strong acid reaction in solution, FALICAIN solutions have a pH around 6.4.

b. Dr. Pietsch reported that he has tried to replace novocain and cocaine in his clinic and has used FALICAIN extensively, in various dilutions of OPHTHA-FALICAIN. It compared favorably with pantocain, novocain, and Jenacain. It can replace pantocain completely and cocaine to a large extent. (He pointed out that pantocain is expensive and hard to obtain.) For plastic surgery operations, no difference exists between FALICAIN and novocain or Jenacain. If FALICAIN can be produced cheaper than Jenacain, it might then possess an advantage.

c. Dr. Gotzen from the University Eye Clinic at Halle reported that he has been using FALICAIN since the spring of 1950, on about 3000 patients, with success. The only complaint was that the patients experienced a slight burning sensation in the eye which was no stronger than that produced by pantocain or psicain. No toxic effects were noticed. He saw no advantage in using FALICAIN as a substitute for cocaine, pantocain, or psicain, and assumed that the advantages lie in the economic field.

d. Professor Eggers from the Kahlenberg Foundation in Magdeburg, reported his experiences with FALICAIN. He has employed it in 205 cases of the most diverse character. Results were very satisfactory, and FALICAIN had positive advantages over novocain because of its longer action and because less morphine was required--which represents an economy.

e. Dr. Wanke from the University Surgical Clinic (Halle?) reported that he has employed FALICAIN mainly in the polyclinic. The rapid action and lack of post-operative pain was striking. Speed of onset of anesthesia, and duration was better with FALICAIN than with Jenacain.

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f. Dr. Schulte of the Pfeiffer Foundation, Magdeburg, reported success with the use of 0.5% FALICAIN solution on five patients. It was safer than novocain (according to Schulte).

g. Dr. Ortel of the University Dental Clinic, Halle, reported pioneer use of FALICAIN beginning in late 1949. He prepared his original solutions from the crystalline powder. (Since May 1951 he has received the product already prepared, "Dento-Falicain", a 0.5% solution in isotonic saline with adrenalin). He rated the regional and infiltration-anesthesia achieved with FALICAIN as excellent. He noticed one disadvantage, namely an edematous swelling of the soft tissue, which he ascribed to use of non-isotonic solutions of the FALICAIN since they did not occur with later isotonic solutions. No toxic associated effects were noted. He requested that FALICAIN be supplied with two or three different adrenalin supplements, to assist in the avoidance of bleeding.

h. Dr. Meyer, from the Dental Clinic of the Gustav Ricker Hospital at Magdeburg-Sudenburg reported that he has used FALICAIN also, particularly to prevent circulatory disturbance. Speed of inception of anesthesia appeared greater than with novocain, and wound healing was undisturbed. He observed an edematous swelling in about 15% of all cases, which caused no pain. (According to Prof. Holtz the newly released FALICAIN does not cause any swelling)

i. Prof. Dr. Kleeberg from the University Dental Clinic, Leipzig reported use of 0.5% FALICAIN (with adrenalin) with which he had satisfactory results. He stated that Dento-Falicain appears to be an excellent local and regional anesthetic.

j. Prof. Braun from the Forest Hospital-Iostau reported use of FALICAIN in dermatological practise, as a salve, 0.1% injection, and a 20% aqueous solution. He stated that FALICAIN is not equal to novocain for intravenous use, but is excellent in block-anesthesia. When used with penicillin, the latter remained effective, as did the FALICAIN. Braun also added that in the treatment of gonorrhea FALICAIN is as effective as the hard to get Lugol's solution.

k. Dr. Kroeber from the Blankenburg/Harz polyclinic reported his results with trial samples of FALICAIN which began in November 1949. He noted an undesirable stimulating effect on the blood vessels of the eyes of experimental animals with solutions of $\frac{1}{2}$ to 5%. Local anesthesia in humans was excellent, with rapid onset. FALICAIN was found to be more rapid than novocain, Jenacain and psicain, and therefore more economical.

l. Dr. Dittmar from the Elizabeth Hospital in Halle prepared a report which was read by Prof. Holtz in Dittmar's absence. His main contribution was that FALICAIN's lack of disturbance of the circulatory system makes it ideal as an intravenous anesthetic.

25X1 [redacted] Comments:

1. Report of the Scheele Society meeting in Rostock, 10th and 11th May 1952, was contained in "Die Pharmazie", 7, No. 9, pp. 608-609 (1952). Report of the Sachsen-Anhalt Medical Scientific Society for Theoretical Medicine and Related Fields meeting, 22 June 1951, appeared in "Die Pharmazie", 6, No. 10, pp. 555-559 (1951).

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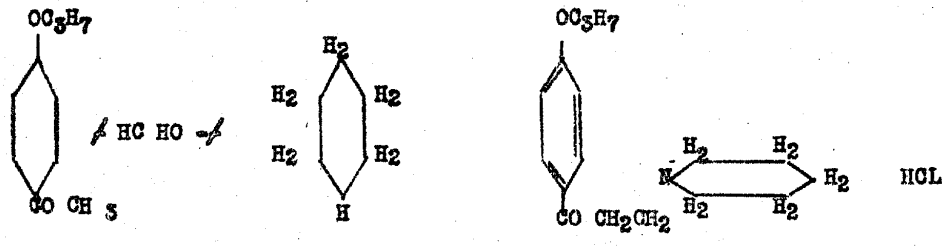
2. Dr. Pfofft doveloped PALICAIN at the "ALCID", VVB in Magdeburg.

3. The structural formula given by Dr. Profft Is:



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